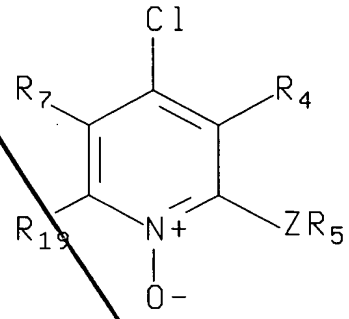
CLAIMS

1. A compound of the formula



X

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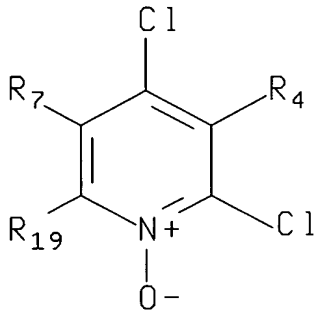
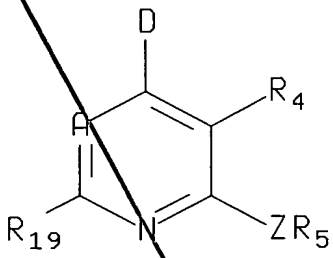


XI

or



IV

wherein $R_7$ is hydrogen, methyl, fluoro, chloro, bromo, iodo, cyano, hydroxy, -O($C_1$-$C_4$ alkyl), -C(O)($C_1$-$C_4$ alkyl), -C(O)O($C_1$-$C_4$ alkyl), -$OCF_3$, $CF_3$, -$CH_2OH$, -$CH_2OCH_3$ or -$CH_2OCH_2CH_3$;

D is chloro, hydroxy or cyano;

$R_{19}$ is methyl or ethyl;

$R_5$ is phenyl or pyridyl and $R_5$ is substituted by two or three substituents independently selected from $C_1$-$C_4$ alkyl, chloro and bromo, except that no more than one such substituent can be bromo;

$R_4$ is hydrogen, $C_1$-$C_4$ alkyl, fluoro, chloro, bromo, iodo, $C_1$-$C_4$ alkoxy, trifluoromethoxy, -$CH_2OCH_3$, -$CH_2OCH_2CH_3$, -$CH_2CH_2OCH_3$, -$CH_2OF_3$, $CF_3$, amino, nitro, -NH($C_1$-$C_4$ alkyl), -$N(CH_3)_2$, -$NHCOCH_3$, -$NHCONHCH_3$, -$SO_n$($C_1$-$C_4$ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy,

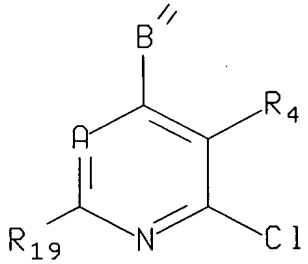
$-CO(C_1-C_4$ alkyl), -CHO, cyano or $-COO(C_1-C_4$ alkyl) wherein said $C_1-C_4$ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, $-NHCOCH_3$, $-NH(C_1-C_2$ alkyl), $-N(C_1-C_2$ alkyl)$_2$, $-COO(C_1-C_4$ alkyl), $-CO(C_1-C_4$ alkyl), $C_1-C_3$ alkoxy, $C_1-C_3$ thioalkyl, fluoro, chloro, cyano and nitro;

A is N, CH or $CH_3$;

and Z is O, NH, $N(CH_3)$, S or $CH_2$, with the proviso that when A is CH or $CCH_3$, then Z must be O or S.

2. A compound according to claim 1 having the formula XI wherein $R_7$ is hydrogen or methyl and $R_4$ is hydrogen, $C_1-C_4$ alkyl, $-O(C_1-C_4$ alkyl), chloro or cyano.

3. A compound of the formula




XII

wherein $R_{19}$ is methyl or ethyl;

$R_4$ is hydrogen, $C_1-C_4$ alkyl, fluoro, chloro, bromo, iodo, $C_1-C_4$ alkoxy, trifluoromethoxy, $-CH_2OCH_3$, $-CH_2OCH_2CH_3$, $-CH_2CH_2OCH_3$, $-CH_2OF_3$, $CF_3$, amino, nitro, $-NH(C_1-C_4$ alkyl), $-N(CH_3)_2$, $-NHCOCH_3$, $-NHCONHCH_3$, $-SO_n(C_1-C_4$ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy, $-CO(C_1-C_4$ alkyl), -CHO, cyano or $-COO(C_1-C_4$ alkyl) wherein said $C_1-C_4$ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, $-NHCOCH_3$, $-NH(C_1-C_2$ alkyl), $-N(C_1-C_2$ alkyl)$_2$, $-COO(C_1-C_4$ alkyl), $-CO(C_1-C_4$ alkyl), $C_1-C_3$ alkoxy, $C_1-C_3$ thioalkyl, fluoro, chloro, cyano and nitro;

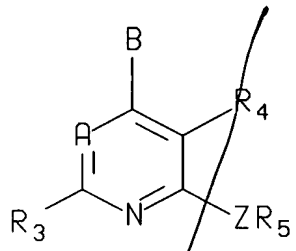
A is N, CH or $CCH_3$;

B" is $-NR_1R_2$, $-CR_1R_2R_{11}$, $-C(=CR_2R_{12})R_1$, $-NHCHR_1R_2$, $-OCHR_1R_2$, $-SCHR_1R_2$, $-CHR_2OR_{12}$, $-CHR_2SR_{12}$, $-C(S)R_2$ or $-C(O)R_2$;

with the proviso that when A is CH or $CCH_3$, then B" is $-NR_1R_2$, $-NHR_1R_2$, $-OCHR_1R_2$ or cyano and $R_4$ is an electron deficient group such as $NO_2$, $-COO(C_1-C_4$ alkyl), $-C(=O)CH_3$, -COOH or cyano.

4. A compound according to claim 3, wherein B" is $-NR_1R_2$ or $-NHCHR_1R_2$ and A is CH or $CH_3$.

5. A process for preparing a compound of the formula



I

or a pharmaceutically acceptable salt thereof, wherein

A is $-CR_7$ or N;

B is $-NR_1R_2$, $-CR_1R_2R_{11}$, $-C(=CR_2R_{12})R_1$, $-NHCHR_1R_2$, $-OCHR_1R_2$, $-SCHR_1R_2$, $-CHR_2OR_{12}$, $-CHR_2SR_{12}$, $-C(S)R_2$ or $-C(O)R_2$;

Z is NH, O, S, $-N(C_1-C_2$ alkyl) or $-C(R_{13}R_{14})$, wherein $R_{13}$ and $R_{14}$ are each, independently, hydrogen, trifluoromethyl or methyl, or one of $R_{13}$ and $R_{14}$ is cyano and the other is hydrogen or methyl;

$R_1$ is $C_1-C_6$ alkyl which may optionally be substituted with one or two substituents $R_8$ independently selected from the group consisting of hydroxy, fluoro, chloro, bromo, iodo, $CF_3$ and $C_1-C_4$ alkoxy, and wherein said $C_1-C_6$ alkyl and the $C_1-C_4$ alkyl moiety of said $C_1-C_4$ alkoxy may optionally contain one carbon-carbon double or triple bond;

$R_2$ is $C_1-C_{12}$ alkyl, aryl or $-(C_1-C_4$ alkylene)aryl wherein said aryl is phenyl, naphthyl, thienyl, benzothienyl, pyridyl, quinolyl, pyrazinyl, pyrimidyl, imidazolyl, furanyl, benzofuranyl, benzothiazolyl, isothiazolyl, benzisothiazolyl, benzisoxazolyl, benzimidazolyl, indolyl, or benzoxazolyl; 3- to 8-membered cycloalkyl or $-(C_1-C_6$ alkylene)cycloalkyl, wherein one or two of the ring carbons of said cycloalkyl having at least 4 ring members and the cycloalkyl moiety of said $-(C_1-C_6$ alkylene)cycloalkyl having at least 4 ring members may optionally be replaced by an oxygen or sulfur atom or by $N-R_9$ wherein $R_9$ is hydrogen or $C_1-C_4$ alkyl; and wherein each of the foregoing $R_2$ groups may optionally be substituted with from one to three substituents independently selected from chloro, fluoro and $C_1-C_4$ alkyl, or with one substituent selected from bromo, iodo, $C_1-C_6$ alkoxy, $-O-CO-(C_1-C_6$ alkyl), $-O-CO-N(C_1-C_4$ alkyl)($C_1-C_2$ alkyl), $-S(C_1-C_6$ alkyl), CN, $NO_2$, $-SO(C_1-C_4$ alkyl), and $-SO_2(C_1-C_4$ alkyl), and wherein said $C_1-C_{12}$ alkyl and the $C_1-C_4$ alkylene moiety of said $-(C_1-C_4$ alkylene)aryl may optionally contain one carbon-carbon double or triple bond;

or $-NR_1R_2$ may form a saturated 5- to 8-membered carbocyclic ring which may optionally contain one or two carbon-carbon double bonds and in which one or two of the ring carbons may optionally be replaced by an oxygen or sulfur atom;

$R_3$ is methyl, ethyl, fluoro, chloro, bromo, iodo, cyano, methoxy, $OCF_3$, methylthio, methylsulfonyl, $CH_2OH$, or $CH_2OCH_3$;

$R_4$ is hydrogen, $C_1$-$C_4$ alkyl, fluoro, chloro, bromo, iodo, $C_1$-$C_4$ alkoxy, trifluoromethoxy, $-CH_2OCH_3$, $-CH_2OCH_2CH_3$, $-CH_2CH_2OCH_3$, $-CH_2OF_3$, $CF_3$, amino, nitro, $-NH(C_1$-$C_4$ alkyl), $-N(CH_3)_2$, $-NHCOCH_3$, $-NHCONHCH_3$, $-SO_n(C_1$-$C_4$ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy, $-CO(C_1$-$C_4$ alkyl), -CHO, cyano or $-COO(C_1$-$C_4$ alkyl) wherein said $C_1$-$C_4$ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, $-NHCOCH_3$, $-NH(C_1$-$C_2$ alkyl), $-N(C_1$-$C_2$ alkyl)$_2$, $-COO(C_1$-$C_4$ alkyl), $-CO(C_1$-$C_4$ alkyl), $C_1$-$C_3$ alkoxy, $C_1$-$C_3$ thioalkyl, fluoro, chloro, cyano and nitro;

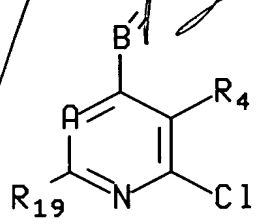
$R_5$ is phenyl or pyridyl, and $R_5$ is substituted with from one to three substituents independently selected from fluoro, chloro, $C_1$-$C_6$ alkyl, and $C_1$-$C_6$ alkoxy, or with one substituent selected from hydroxy, iodo, bromo, formyl, cyano, nitro, trifluoromethyl, amino, $-(C_1$-$C_6$ alkyl)$O(C_1$-$C_6$)alkyl, $-NHCH_3$, $-N(CH_3)_2$, -COOH, $-COO(C_1$-$C_4$ alkyl), $-CO(C_1$-$C_4$ alkyl), $-SO_2NH(C_1$-$C_4$ alkyl), $-SO_2N(C_1$-$C_4$ alkyl)$(C_1$-$C_2$ alkyl), $-SO_2NH_2$, $-NHSO_2(C_1$-$C_4$ alkyl), $-S(C_1$-$C_6$ alkyl) and $-SO_2(C_1$-$C_6$ alkyl), and wherein the $C_1$-$C_4$ alkyl and $C_1$-$C_6$ alkyl moieties of the foregoing $R_5$ groups may optionally be substituted with one or two fluoro groups or with one substituent selected from hydroxy, amino, methylamino, dimethylamino and acetyl; and

$R_7$ is hydrogen or methyl;

with the proviso that when A is CH or $CCH_3$, then $R_4$ is an electron deficient group such as $NO_2$, $-COO(C_1$-$C_4$ alkyl), $-C(=O)CH_3$, -COOH or CN;

or a pharmaceutically acceptable salt of such compound;

comprising reacting a compound of the formula



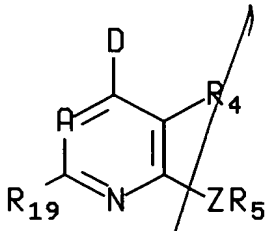

XII

wherein $R_{19}$ is methyl or ethyl and A is N, CH or $CCH_3$; and wherein when A is N, then B" and $R_4$ are defined, respectively, as B and $R_4$ are defined as above, and when A is CH or $CH_3$, then B" is $-NR_1R_2$, $-NHR_1R_2$, $-OCHR_1R_2$ or cyano and $R_4$ is an electron deficient group such as $NO_2$, $-COO(C_1$-$C_4$ alkyl), $-C(=O)CH_3$, -COOH or CN;

with a compound of the formula $R_5ZH$, wherein $R_5$ and Z are defined as above, and then optionally converting the compound of formula I formed in such reaction into a pharmaceutically acceptable salt.

6. A process according to claim 5 wherein $R_4$ in both the compound of formula I and the compound of formula IV is nitro.

7. A process for preparing a compound of the formula



IV

wherein $R_{19}$ is methyl or ethyl;

D is chloro;

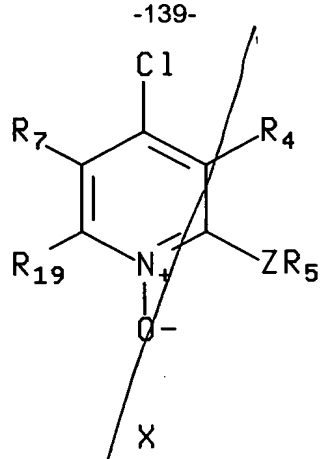
A is $-CR_7$ or N;

Z is NH, O, S, $-N(C_1-C_2$ alkyl) or $-C(R_{13}R_{14})$, wherein $R_{13}$ and $R_{14}$ are each, independently, hydrogen, trifluoromethyl or methyl, or one of $R_{13}$ and $R_{14}$ is cyano and the other is hydrogen or methyl;

$R_4$ is hydrogen, $C_1-C_4$ alkyl, fluoro, chloro, bromo, iodo, $C_1-C_4$ alkoxy, trifluoromethoxy, $-CH_2OCH_3$, $-CH_2OCH_2CH_3$, $-CH_2CH_2OCH_3$, $-CH_2OF_3$, $CF_3$, amino, nitro, $-NH(C_1-C_4$ alkyl), $-N(CH_3)_2$, $-NHCOCH_3$, $-NHCONHCH_3$, $-SO_n(C_1-C_4$ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy, $-CO(C_1-C_4$ alkyl), -CHO, cyano or $-COO(C_1-C_4$ alkyl) wherein said $C_1-C_4$ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, $-NHCOCH_3$, $-NH(C_1-C_2$ alkyl), $-N(C_1-C_2$ alkyl)$_2$, $-COO(C_1-C_4$ alkyl), $-CO(C_1-C_4$ alkyl), $C_1-C_3$ alkoxy, $C_1-C_3$ thioalkyl, fluoro, chloro, cyano and nitro; and

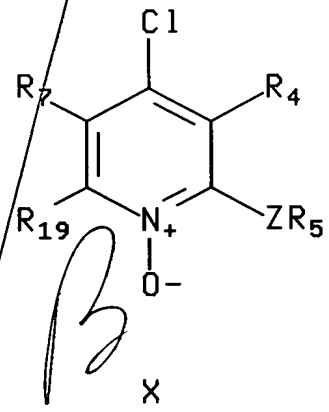
$R_5$ is phenyl or pyridyl, and $R_5$ is substituted with from one to three substituents independently selected from fluoro, chloro, $C_1-C_6$ alkyl, and $C_1-C_6$ alkoxy, or with one substituent selected from hydroxy, iodo, bromo, formyl, cyano, nitro, trifluoromethyl, amino, $-(C_1-C_6$ alkyl)$O(C_1-C_6)$alkyl, $-NHCH_3$, $-N(CH_3)_2$, -COOH, $-COO(C_1-C_4$ alkyl), $-CO(C_1-C_4$ alkyl), $-SO_2NH(C_1-C_4$ alkyl), $-SO_2N(C_1-C_4$ alkyl)$(C_1-C_2$ alkyl), $-SO_2NH_2$, $-NHSO_2(C_1-C_4$ alkyl), $-S(C_1-C_6$ alkyl) and $-SO_2(C_1-C_6$ alkyl), and wherein the $C_1-C_4$ alkyl and $C_1-C_6$ alkyl moieties of the foregoing $R_5$ groups may optionally be substituted with one or two fluoro groups or with one substituent selected from hydroxy, amino, methylamino, dimethylamino and acetyl;

comprising reacting a compound of the formula



wherein $R_{19}$, $R_4$ and $R_5$ are defined as above and $R_7$ is hydrogen, methyl, fluoro, chloro, bromo, iodo, cyano, hydroxy, -O($C_1$-$C_4$ alkyl), -C(O)($C_1$-$C_4$ alkyl), -C(O)O($C_1$-$C_4$ alkyl), -$OCF_3$, $CF_3$, -$CH_2OH$, -$CH_2OCH_3$ or -$CH_2OCH_2CH_3$, with phorphorus trichloride.

8. A process for preparing a compound of the formula



wherein $R_{19}$ is methyl or ethyl;

A is -$CR_7$ or N;

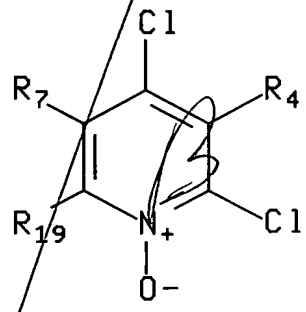
Z is O, S or -C($R_{13}R_{14}$), wherein $R_{13}$ and $R_{14}$ are each, independently, hydrogen, trifluoromethyl or methyl, or one of $R_{13}$ and $R_{14}$ is cyano and the other is hydrogen or methyl;

$R_4$ is hydrogen, $C_1$-$C_4$ alkyl, fluoro, chloro, bromo, iodo, $C_1$-$C_4$ alkoxy, trifluoromethoxy, -$CH_2OCH_3$, -$CH_2OCH_2CH_3$, -$CH_2CH_2OCH_3$, -$CH_2OF_3$, $CF_3$, amino, nitro, -NH($C_1$-$C_4$ alkyl), -$N(CH_3)_2$, -$NHCOCH_3$, -$NHCONHCH_3$, -$SO_n$($C_1$-$C_4$ alkyl) wherein n is 0, 1 or 2, cyano, hydroxy, -CO($C_1$-$C_4$ alkyl), -CHO, cyano or -COO($C_1$-$C_4$ alkyl) wherein said $C_1$-$C_4$ alkyl may optionally contain one double or triple bond and may optionally be substituted with one substituent selected from hydroxy, amino, -$NHCOCH_3$, -NH($C_1$-$C_2$ alkyl), -N($C_1$-$C_2$ alkyl)$_2$, -COO($C_1$-$C_4$ alkyl), -CO($C_1$-$C_4$ alkyl), $C_1$-$C_3$ alkoxy, $C_1$-$C_3$ thioalkyl, fluoro, chloro, cyano and nitro; and

$R_5$ is phenyl or pyridyl, and $R_5$ is substituted with from one to three substituents independently selected from fluoro, chloro, $C_1$-$C_6$ alkyl, and $C_1$-$C_6$ alkoxy, or with one substituent selected from hydroxy, iodo, bromo, formyl, cyano, nitro, trifluoromethyl, amino, -($C_1$-$C_6$

alkyl)O($C_1$-$C_6$)alkyl, -$NHCH_3$, -$N(CH_3)_2$, -COOH, -COO($C_1$-$C_4$ alkyl), -CO($C_1$-$C_4$ alkyl), -$SO_2NH$($C_1$-$C_4$ alkyl), -$SO_2N$($C_1$-$C_4$ alkyl)($C_1$-$C_2$ alkyl), -$SO_2NH_2$, -$NHSO_2$($C_1$-$C_4$ alkyl), -S($C_1$-$C_6$ alkyl) and -$SO_2$($C_1$-$C_6$ alkyl), and wherein the $C_1$-$C_4$ alkyl and $C_1$-$C_6$ alkyl moieties of the foregoing $R_5$ groups may optionally be substituted with one or two fluoro groups or with one substituent selected from hydroxy, amino, methylamino, dimethylamino and acetyl;

comprising reacting a compound of the formula




XI

wherein $R_4$, $R_7$ and $R_{19}$ are defined as above, with a compound of the formula $R_5OH$ or $R_5SH$, wherein $R_5$ is defined as above, in the presence of a base.